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DEPARTMENT: DEPARTMENT OF HEALTH AND HUMAN SERVICES

National Institutes of Health

Government-Owned Inventions; Availability for Licensing and/or Co-Development

AGENCY: National Institutes of Health

ACTION: Notice

SUMMARY: The invention listed below is owned by an agency of the U.S. Government and is available for licensing and/or co-development in the U.S. to achieve expeditious commercialization of results of federally-funded research and development. Foreign patent applications are filed on selected inventions to extend market coverage for companies and may also be available for licensing and/or co-development.

ADDRESSES: Invention Development and Marketing Unit, Technology Transfer Center, National Cancer Institute, 9609 Medical Center Drive, Mail Stop 9702, Rockville, MD, 20850-9702.

FOR FURTHER INFORMATION CONTACT: Information on licensing and co-development research collaborations, and copies of the U.S. patent applications listed below may be obtained by contacting: Attn. Invention Development and Marketing Unit, Technology Transfer Center, National Cancer Institute, 9609 Medical Center Drive, Mail Stop 9702, Rockville, MD, 20850-9702, Tel. 240-276-5515 or email ncitechtransfer@mail.nih.gov. A signed Confidential Disclosure Agreement may be required to receive copies of the patent applications.

SUPPLEMENTARY INFORMATION: Technology description follows.

Title of invention:

Methods of Making and Using Dopamine D₃ Receptor Selective Antagonists/Partial Agonists

Summary of Technology:

A library of novel compounds that selectively bind the dopamine D₃ receptor have been designed and characterized extensively. *In vivo* rodent studies indicate selected lead molecules may be useful to treat drug addiction/dependence.

Description of Technology:

Dopamine is a major neurotransmitter in the central nervous system and among other functions is directly related to the rewarding effects of drugs of abuse. Dopamine signaling is mediated by D₁, D₂, D₃, D₄ and D₅ receptors. The dopamine D₃ receptor is a known target to treat a variety of neuropsychiatric disorders, including substance use disorders (e.g. cocaine and opioid), schizophrenia and depression. Despite extensive efforts, it has proven difficult to identify a lead molecule that selectively binds to D₃ receptors (versus D₂ receptors, for example), with the desired pharmacological and pharmacokinetic profile. For example, metabolic instability or predicted toxicity has precluded successful translation of previously reported D₃R-selective antagonists to clinical use for cocaine abuse.

The library of compounds is designed to have high affinity and specificity for the dopamine D₃ receptor. Preliminary studies at National Institute of Drug Abuse (NIDA)

indicate that selected lead compounds have promising *in vivo* activity in rodents, including reduced acquisition to self-administration of oxycodone, inhibition of reinstatement to oxycodone seeking, and ameliorating naloxone-precipitated withdrawal from oxycodone dependence.

This invention is owned by an agency of the U.S. Government and is available for licensing and/or co-development in the U.S., in accordance with 35 U.S.C. 209 and 37 CFR part 404, to achieve expeditious commercialization of results of federally-funded research and development. Foreign patent applications are filed on selected inventions to extend market coverage for companies and may also be available for licensing and/or co-development.

Potential Commercial Applications:

- Treatment of Opioid Use Disorders
- Treatment of Schizophrenia
- Treatment of Bipolar Disorder
- Treatment of cannabis (Tetrahydrocannabinol, THC) dependence

Value Proposition:

Despite extensive efforts to develop D₃ receptor-selective compounds, it has proven difficult to identify a ligand with the desired pharmacological and pharmacokinetic profile for translation to the clinic. The D₃ receptor ligands described herein may be useful to treat a variety of diseases, including opioid use disorders and schizophrenia.

Development Stage:

Pre-clinical (in vivo validation)

Inventor(s):

Amy Newman and Vivek Kumar (NIDA)

Intellectual Property:

E-053-2016 United States Provisional Patent Application No. 62/307,600, filed March 14, 2016, titled “Dopamine D3 Receptor Selective Antagonists/Partial Agonists; Methods of Making and Use Thereof”.

Publications:

[J Med Chem.](#) 2016 Aug 25;59(16):7634-50. doi: 10.1021/acs.jmedchem.6b00860. Epub 2016 Aug 10.

Collaboration Opportunity: Researchers at the NIDA seek licensing and/or co-development research collaborations for development of Dopamine D3 ligands to treat opioid use disorders.

Contact Information:

Requests for copies of the patent application or inquiries about licensing, research collaborations, and co-development opportunities should be sent to John D. Hewes, Ph.D., email: john.hewes@nih.gov.

Dated: November 10, 2016

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